

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operat or	Plura ls	Time Stamp
S1	23	"771668".APN. OR "024807".APN. OR "123569".APN. OR "464968".APN. OR "993272".APN. OR "993458".APN. OR "993547".APN. OR "993550".APN. OR "993983".APN. OR "993984".APN. OR "5591836".PN. OR "6350469".PN. OR "6372253".PN. OR "5607749".PN.	US-PGPU B; USPAT; EPO; JPO; DERWEN T	OR	ON	2006/06/24 18:13
S2	2	S1 AND (lipase or lipstatin or orlistat)	US-PGPU B; USPAT; EPO; JPO; DERWEN T	OR	ON	2006/06/24 18:55
S3	43	("20020086052 "6350469 "6372253 "5576306 "4017598 "5789393 "6358522 "6030953 "5691369 "5643874 "5451409 "4202824 "4189438 "5540917 "5374430 "541409 "5518730 "5540917 "5691369 "6120803 "6126969 "6319520").PN.	US-PGPU B; USPAT; EPO; JPO; DERWEN T	OR	ON	2006/06/24 18:54
S4	11	S3 AND (hydroxypropylmethylcellulose or "hydroxypropyl methylcellulose" or "hydroxypropyl methyl cellulose" or "hydroxy propyl methylcellulose" or "hydroxy propyl methyl cellulose")	US-PGPU B; USPAT; EPO; JPO; DERWEN T	OR	ON	2006/06/24 19:35

EAST Search History

S5	9	S3 AND ("lipase inhibitor" or lipstatin or Orlistat or Tetrahydrolipstatin or Xenical)	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:35
S6	2	S4 AND S5	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:04
S7	2233	("lipase inhibitor" or lipstatin or Orlistat or Tetrahydrolipstatin or Xenical)	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:35
S8	587	S7 AND (hydroxypropylmethylcellulose or "hydroxypropyl methylcellulose" or "hydroxypropyl methyl cellulose" or "hydroxy propyl methylcellulose" or "hydroxy propyl methyl cellulose")	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:35
S9	551	S8 AND (lipstatin or Orlistat or Tetrahydrolipstatin or Xenical)	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:36

EAST Search History

S10	551	S9 AND (Orlistat or Tetrahydrolipstatin or Xenical)	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:42
S11	551	S10 AND (particulate or powder or dust or granule or granulate or granulat\$ or granular or grain or crystallite or crystal or bead or capsule or capsulate or capsulat\$ or encapsulate or encapsulation or encapsul\$ or agglomerate or agglomerat\$ or flocculate or flocculat\$ or solid or "solid dosage form" or tablet or tableted or tablets or tableting or pellet or pelleted or pellets or pelleting or caplet or capsule or dragee or geltab or "gel tab" or "gel-tab" or lozenge)	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:42
S12	550	S11 AND ("solid dosage form" or tablet or tableted or tablets or tableting or pellet or pelleted or pellets or pelleting or caplet or capsule or dragee or geltab or "gel tab" or "gel-tab" or lozenge)	US-PGPU B; USPAT; EPO; JPO; DERWENT	OR	ON	2006/06/24 19:42

=> S orlistat/CN
 Li 1 ORLISTAT/CN

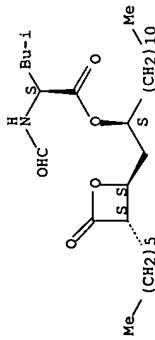
=> D Li 1

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

RN 96829-58-2 REGISTRY
 ED Entered STN: 23 Jun 1985
 CN L-Leucine, N-formyl-, (1S)-1-[(2S,3S)-3-hexyl]-4-oxo-2-octanoylmethyl)dodecyl ester (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN L-Leucine, N-formyl-, 1-[(3-hexyl-4-oxo-2-octanoyl)methyl]dodecyl ester,
 [2S-(2a(R*)-3R)]-
 OTHER NAMES:
 CN (-)-tetrahydrolipstatin
 CN Orlistat
 Ro 18-0647/002
 CN Tetrahydrolipstatin
 CN Xenical
 FS STEREOSEARCH
 DR 111397-16-1
 MF C29 H53 N O5
 CI CON STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECINFO, CA, CAPUS, CASERACT, CBNB, CHEMINFOORMXX, CIN, CSChem, DDFU, DRUGI, ENDSE, INSPECHEM, INSPECNEWS, INSPIRENETS, IMRESEARCH, IPA, MEDLINE, MRCK*, PATPDASPC, PHAR, PROT, PROUDDR, PS, RTECS*, SYNTHIA, TOXCENTER, USAN, USPAT2, USPAT11, USPAT12, USPAT13
 (* File contains numerically searchable property data)

Other Sources: WHO

Absolute stereochemistry.



** PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT **

527 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
530 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Monograph number: 06935

Title: Orlistat

CAS Registry Number: 96829-58-2

CAS Name: *N*-Formyl-L-leucine (1*S*)-1-[[*(2S,3S)*-3-hexyl-4-oxo-2-oxetanyl]methyl]dodecyl ester

Additional Names: *N*-formyl-L-leucine ester with (*3S,4S*)-3-hexyl-4-[(*2S*)-2-hydroxytridecyl]-2-oxetanone ; (-)-tetrahydrolipstatin; orlipastat

Manufacturers' Codes: Ro-18-0647

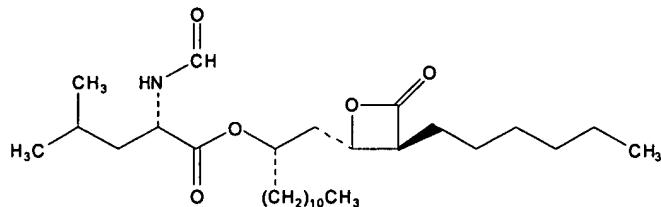
Trademarks: Xenical (Hoffmann-La Roche)

Molecular Formula: C₂₉H₅₃NO₅

Molecular Weight: 495.73

Percent Composition: C 70.26%, H 10.78%, N 2.83%, O 16.14%

Literature References: Pancreatic lipase inhibitor. Isoln from fermentation broth of *Streptomyces toxytricini*: P. Hadváry *et al.*, EP 129748; eidem, US 4598089 (1985, 1986 both to Hoffmann-LaRoche). Structural elucidation: E. Hochuli *et al.*, *J. Antibiot.* **40**, 1086 (1987). Synthesis and absolute configuration: P. Barbier, F. Schneider, *Helv. Chim. Acta* **70**, 196 (1987). Total synthesis: S. Hanessian *et al.*, *J. Org. Chem.* **58**, 7768 (1993). Mechanism of action: B. Borgström, *Biochim. Biophys. Acta* **962**, 308 (1988). Clinical pharmacology: J. B. Hauptman *et al.*, *Am. J. Clin. Nutr.* **55**, 309S (1992). Clinical trial: M. H. Davidson *et al.*, *J. Am. Med. Assoc.* **281**, 235 (1999). Review of pharmacology and clinical efficacy in treatment of obesity: W. McNeely, P. Benfield, *Drugs* **56**, 241-249 (1998). Evaluation of long-term efficacy and tolerability: J. Hauptman *et al.*, *Arch. Fam. Med.* **9**, 160 (2000).



Properties: Crystals, mp 43°. [α]_D²⁰ -32.0° (c = 1 in chloroform).

Therapeutic Category: Antidiabesity agent.

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